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PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

REC'D 15 JUN 2004

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Applicant's or agent's file reference 2002DE125/PCT	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/IB 03/03718	International filing date (day/month/year) 15.08.2003	Priority date (day/month/year) 19.08.2002
International Patent Classification (IPC) or both national classification and IPC C07D211/58, C07D211/58		
Applicant CLARIANT GMBH et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 4 sheets, including this cover sheet.

☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

 These annexes consist of a total of 2 sheets.

3. This report contains indications relating to the following items:
 - I ☒ Basis of the opinion
 - II ☐ Priority
 - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
 - IV ☐ Lack of unity of invention
 - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
 - VI ☐ Certain documents cited
 - VII ☐ Certain defects in the international application
 - VIII ☐ Certain observations on the international application

Date of submission of the demand 12.12.2003	Date of completion of this report 11.06.2004
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Scruton-Evans, I Telephone No. +49 89 2399-8272 

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/B 03/03718**

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-10 as originally filed

Claims, Numbers

1-8 received on 06.05.2004 with letter of 04.05.2004

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
 - ☐ the language of publication of the international application (under Rule 48.3(b)).
 - ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).
3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:
- ☐ contained in the international application in written form.
 - ☐ filed together with the international application in computer readable form.
 - ☐ furnished subsequently to this Authority in written form.
 - ☐ furnished subsequently to this Authority in computer readable form.
 - ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
 - ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.
4. The amendments have resulted in the cancellation of:
- ☐ the description, pages:
 - ☐ the claims, Nos.:
 - ☐ the drawings, sheets:
5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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International application No. **PCT/B 03/03718**

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	1-8
	No: Claims	
Inventive step (IS)	Yes: Claims	1-8
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-8
	No: Claims	

2. Citations and explanations

see separate sheet

Re Item V**Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

The following documents cited in the search Report are referred to in this communication;

D1:GB-A-2311292

D2:EP-A-1000967

D3:JP(A) 07033738

D4:Hwahak Konghak (1973), 11(1), 15-22

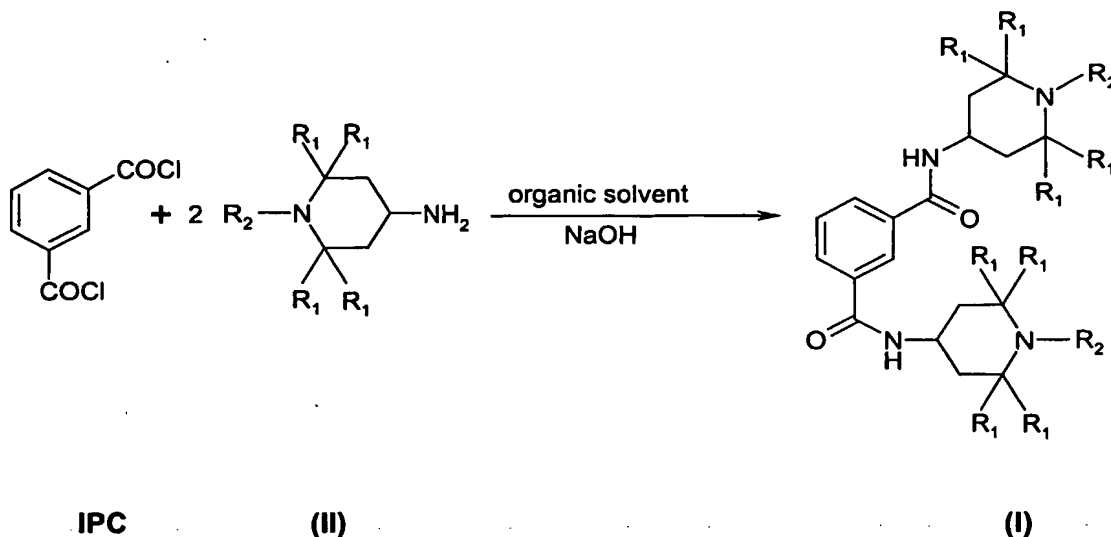
D5:Journal Of The American Chemical Society (1970), 92(12), 3704-3713

With regard to the requirement for novelty (Article 33(2) of the PCT), on the basis of the specific reaction conditions contained in the amended claim 1, novelty can be acknowledged re the documents D1-D5.

With regard to the requirement for inventive step (Article 33(3) of the PCT), the problem underlying the present application is to be seen as the provision of a further novel process for the preparation of stabilisers of formula I by condensation of IPC with sterically hindered amines of formula II, which process leads to improvements re the known processes using these reactants. The solution provided by the application is the use of certain organic solvents together with an optimised temperature and pressure, as detailed in the amended claim 1. As is shown by the comparative data on page 8 of the description, the process of the present application does indeed give a surprisingly increased yield with respect to the process known from D1 (the closest prior art) and reduced waste water consumption and load, and thus the problem can be considered to have been solved in a non-obvious manner. Article 33(3) of the PCT is thus fulfilled.

REPLACED BY
ART 34 AMDT**CLAIMS**

1. Process for the preparation of stabilizers of general formula (I) by condensation of isophthalic acid dichloride (IPC) with sterically hindered amines of general formula (II),



wherein R_1 is H, C_6 -cycloalkyl or C_1 - C_4 -alkyl, and R_2 is H, C_1 - C_5 -alkyl, or a C_1 - C_{10} -alkyloxy-group, characterized in that organic solvents or mixtures thereof with water and an optimized combination of pressure and temperature are used during the whole process.

2. Process according to claim 1 characterized in that R_1 is H or C_1 - C_2 -alkyl and R_2 is H or C_1 - C_2 -alkyl.
3. Process according to claim 1 characterized in that R_1 is methyl and R_2 is H.
4. Process according to any of claims 1 to 3 characterized in that the molar ratio of IPC to the amine (II) is from 1 to 1.8 - 2.0.
5. Process according to any of claims 1 to 4 characterized in that the solvent is xylene, ethanole or isopropanole or a mixture of 60 - 80 % isopropanole and 20 - 40 % water by volume.

**REPLACED BY
ART 34 AMDT**

- 5 6. Process according to any of claims 1 to 5 characterized in that the IPC is added to the amine (II) in the solvent/water/NaOH solution at a temperature of 25 to 35°C and that the reaction mixture is stirred for 50 to 70 minutes at the same temperature.
- 10 7. Process according to claim 6 characterized in that the reaction mixture is then heated in an autoclave to a temperature of 90 - 110 °C and to a system pressure of 1.3 - 1.7 bars.
8. Process according to claim 7 characterized in that a phase separation takes place and that the organic phase, after addition of water, is heated to a temperature of 130 - 140 °C and to a pressure of 3.0 - 4.0 bars.
- 15 9. Process according to claim 8 characterized in that after cooling to ambient temperature the compound of formula (I) is isolated.